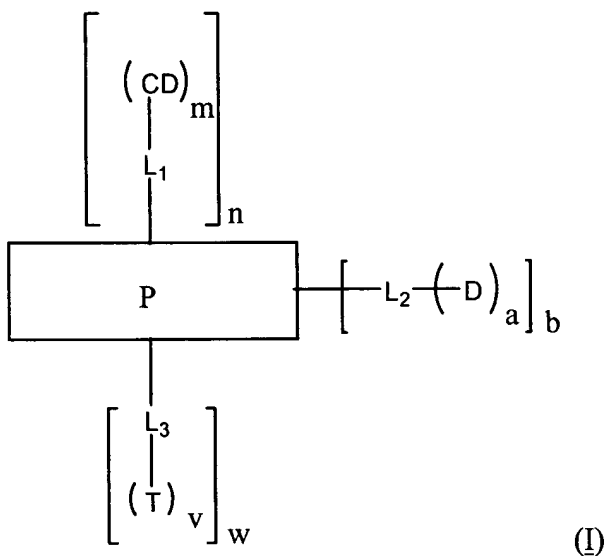


We claim:

1. A compound represented by Formula I:



wherein

P represents a linear or branched polymer chain;

CD represents a cyclodextrin moiety;

10 L_1 , L_2 and L_3 , independently for each occurrence, may be absent or represent a linker group;

D, independently for each occurrence, represents a therapeutic agent or a prodrug thereof;

15 T, independently for each occurrence, represents a targeting ligand or precursor thereof;

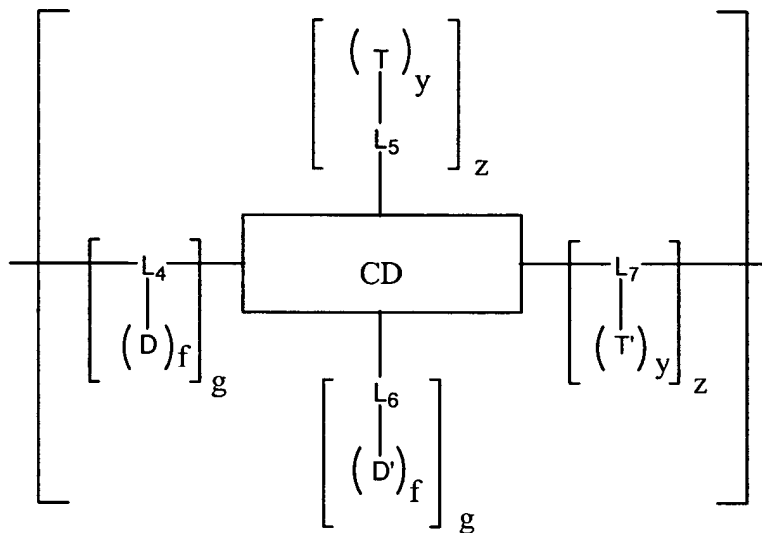
a, m and v, independently for each occurrence, represent integers in the range of 1 to 10;

n and w, independently for each occurrence, represent an integer in the range of 0 to about 30,000; and

20 b represents an integer in the range of 1 to about 30,000; and

wherein either P comprises cyclodextrin moieties or n is at least 1.

2. The compound of claim 1, wherein the polymer chain comprises n' units of U, wherein n' represents an integer in the range of 1 to about 30,000; and U is represented by the general formula:



5

wherein

CD represents a cyclodextrin molecule, or derivative thereof;

L_4 , L_5 , L_6 , and L_7 , independently for each occurrence, may be absent or represent a linker group;

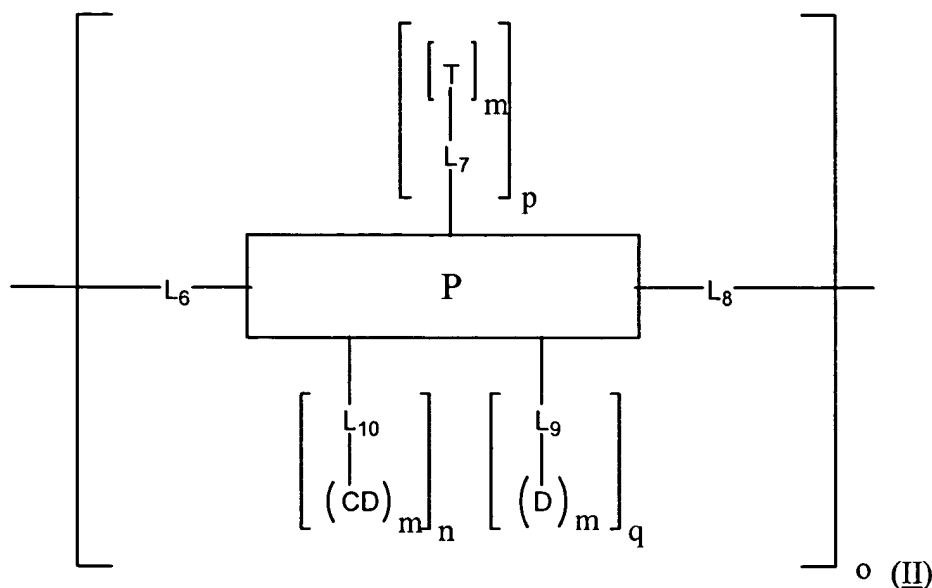
10 D and D' , independently for each occurrence, represent the same or different therapeutic agent or prodrugs thereof;

T and T' , independently for each occurrence, represents the same or different targeting ligand or precursor thereof;

15 f and y, independently for each occurrence, represent an integer in the range of 1 and 10; and

g and z, independently for each occurrence, represent an integer in the range of 0 and 10.

3. A compound represented by Formula II:



wherein

P represents a monomer unit of a polymer;

5 T, independently for each occurrence, represents a targeting ligand or a precursor thereof;

L₆, L₇, L₈, L₉, and L₁₀, independently for each occurrence, may be absent or represent a linker group;

10 CD, independently for each occurrence, represents a cyclodextrin moiety or a derivative thereof;

D, independently for each occurrence, represents a therapeutic agent or a prodrug form thereof;

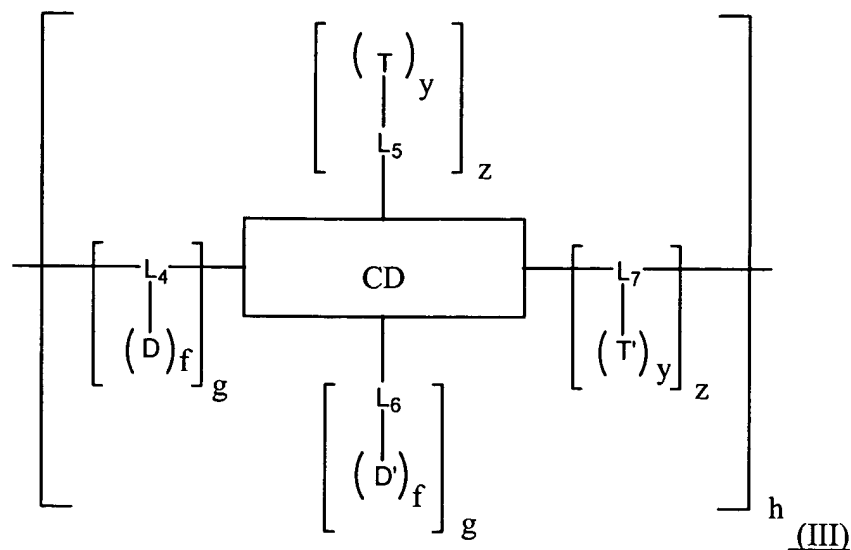
m, independently for each occurrence, represents an integer in the range of 1 to 10;

15 o represents an integer in the range of 1 to about 30,000; and

p, n, and q, independently for each occurrence, represent an integer in the range of 0 to 10,

wherein CD and D are each present at least once in the compound.

4. A compound represented by Formula III:



wherein

5 CD represents a cyclodextrin molecule, or derivative thereof;

L_4 , L_5 , L_6 , and L_7 , independently for each occurrence, may be absent or represent a linker group;

D and D', independently for each occurrence, represent the same or different therapeutic agent or prodrugs thereof;

10 T and T', independently for each occurrence, represent the same or different targeting ligand or precursor thereof;

f and y, independently for each occurrence, represent an integer in the range of 1 and 10;

h represents an integer in the range of 1 to about 30,000; and

15 g and z, independently for each occurrence, represent an integer in the range of 0 and 10,

wherein at least one occurrence of g represents an integer greater than 0.

5. The compound of any of claims 1-4, wherein the linker group represents a hydrocarbylene group wherein one or more methylene groups is optionally replaced by a group Y (provided that none of the Y groups are adjacent to each other), wherein each Y, independently for each occurrence, is selected from, substituted or unsubstituted aryl,

20

heteroaryl, cycloalkyl, heterocycloalkyl, or -O-, C(=X) (wherein X is NR₁, O or S), -OC(O)-, -C(=O)O-, -NR₁-, -NR₁CO-, -C(O)NR₁-, -S(O)_n- (wherein n is 0, 1, or 2), -OC(O)-NR₁-, -NR₁-C(O)-NR₁-, -NR₁-C(NR₁)-NR₁-, and -B(OR₁)_n-; and R₁, independently for each occurrence, represents H or a lower alkyl.

- 5 6. The compound of any of claims 1-4, wherein the linker group represents an amino acid or peptide, or derivative thereof.
7. The compound of any of claims 1-4, wherein said therapeutic agent is a small molecule, a peptide, a protein or a polymer that has therapeutic activity.
8. The compound of any of claims 1-4, wherein the therapeutic agent is hydrophobic
10 (log P > 0.4, 0.6, 0.8, 1).
9. The compound of any of claims 1-4, wherein the therapeutic agent has low aqueous solubility.
10. The compound of any of claims 1-4, wherein therapeutic agent or targeting ligand is covalently-bonded to the linker group via biohydrolyzable bond.
- 15 11. The compound of claim 10, wherein the biohydrolyzable bond is selected from an ester, amide, carbonate, or a carbamate.
12. The compound of any of claims 1-4, wherein therapeutic agent is selected from an anti-cancer, anti-fungal, anti-bacterial, anti-mycotic, or anti-viral therapeutic.
13. The compound of any of claims 1-4, wherein the therapeutic agent is a receptor
20 agonist.
14. The compound of any of claims 1-4, wherein the therapeutic agent is a receptor antagonist.

15. The compound of any of claims 1-4, wherein the compound is biodegradable or bioerodable.
16. The compound of any of claims 1-4, wherein the compound has a number average (M_n) molecular weight between 1,000 to 500,000 amu.
- 5 17. The compound of any of claims 1-4, wherein the polymer has a number average (M_n) molecular weight between 5,000 to 200,000 amu.
18. The compound of any of claims 1-4, wherein the polymer has a number average (M_n) molecular weight between 10,000 to 100,000 amu.
19. A pharmaceutical preparation comprising a pharmaceutical excipient and a
10 compound of any of claims 1-4, or a pharmaceutically acceptable ester, salt, or hydrate thereof.
20. A pharmaceutical dosage form comprising of a therapeutically effective amount of one or more of the compounds of any of claims 1-4.
21. A method for treating an animal comprising administering a therapeutically
15 effective amount of one or more of the compounds of any of claims 1-4.
22. A method for conducting a pharmaceutical business, comprising:
a. manufacturing a formulation or kit including a pharmaceutical composition of any of the compounds of claim 1, 2, 3, or 4; and
b. marketing to healthcare providers the benefits of using the formulation or
20 kit in the treatment of a disease or disorder.
23. A method for conducting a pharmaceutical business, comprising:
a. providing a distribution network for selling a pharmaceutical composition of any of the compounds of claim 1, 2, 3, or 4; and

b. providing instruction material to patients or physicians for using the preparation in the treatment of a disease or disorder.

24. A method for conducting a pharmaceutical business, comprising:

5 a. determining an appropriate formulation and dosage of a pharmaceutical composition of any of the compounds of claim 1, 2, 3, or 4;

b. conducting therapeutic profiling of formulations identified in step (a), for efficacy and toxicity in animals; and

c. providing a distribution network for selling a preparation or preparations identified in step (b) as having an acceptable therapeutic profile.

10 25. The method of claim 24, including an additional step of providing a sales group for marketing the preparation to healthcare providers.

26. A method for conducting a pharmaceutical business, comprising:

a. determining an appropriate formulation and dosage of a pharmaceutical composition of any of the compounds of claim 1, 2, 3, or 4; and

15 b. licensing, to a third party, the rights for further development and sale of the formulation.

27. A method of preparing the compound of claim 1, 2, 3, or 4.

28. A modified cyclodextrin ring, wherein exactly two hydroxyl moieties are replaced by a nitrogen or sulfur atom of an amino acid.

20 29. A modified cyclodextrin ring of claim 28, wherein the amino acid is an alpha-amino acid.

30. A modified cyclodextrin ring of claim 29, wherein the amino acid is cysteine, tryptophan, glutamic acid, aspartic acid, lysine, arginine, histidine, or arginine.

31. A modified cyclodextrin ring of claim 28, wherein the amino acid is included in an oligopeptide comprising 2 to 50 amino acid residues.
32. A modified cyclodextrin ring of claim 31, wherein the oligopeptide comprises 2-30 amino acid residues.
- 5 33. A modified cyclodextrin ring of claim 31, wherein the oligopeptide comprises 2-15 amino acid residues.
34. A linear, water-soluble, cyclodextrin-containing polymer, wherein a plurality of bioactive moieties are covalently attached to the polymer through attachments that are cleaved under biological conditions to release the bioactive moieties, wherein
10 administration of the polymer to a patient results in release of the bioactive agent.
35. The compound of any of claims 1-4, wherein therapeutic agent is selected from anorexics, antiarthritics, antiasthmatic agents, anticonvulsants, antidepressants; antihistamines, anti-inflammatory agents, antinauseants, antineoplastics, antipruritics, antipsychotics, antipyretics, antispasmodics, cardiovascular preparations,
15 antihypertensives, diuretics, vasodilators, central nervous system stimulants, cough and cold preparations, decongestants, diagnostics, hormones, bone growth stimulants and bone resorption inhibitors, immunosuppressives, muscle relaxants, psychostimulants, sedatives, tranquilizers, anti-inflammatory agents, anti-epileptics, anesthetics, hypnotics, sedatives, neuroleptic agents, antidepressants, anxiolytics, anticonvulsant agents, neuron
20 blocking agents, anticholinergic and cholinomimetic agents, antimuscarinic and muscarinic agents, antiadrenergics, antiarrhythmics, and antihypertensive agents.